

10/736,301>19/04/2007

=> d his

(FILE 'HOME' ENTERED AT 17:10:03 ON 19 APR 2007)

FILE 'HCAPLUS' ENTERED AT 17:10:51 ON 19 APR 2007

E 20040152625/PN 25

E US20040152625/PN 25

L1 1 S E3

E US6420359/PN 25

L2 1 S E3

E "710282-29-4"/BI,RN 25

L3 2 S E3 OR E5 OR E6 OR E7 OR E8 OR E9 OR E10 OR E11 OR E12 OR E13

L4 1 S L1 AND L3

E "380378-90-5"/BI,RN 25

L5 7 S E3 OR E5 OR E6 OR E7 OR E8 OR E9 OR E10 OR E11 OR E12 OR E13

L6 1 S L5 AND L2

FILE 'STNGUIDE' ENTERED AT 17:15:46 ON 19 APR 2007

FILE 'REGISTRY' ENTERED AT 17:16:40 ON 19 APR 2007

L7 1 S 380378-81-4/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'STNGUIDE' ENTERED AT 17:16:49 ON 19 APR 2007

FILE 'REGISTRY' ENTERED AT 17:17:30 ON 19 APR 2007

L8 1 S 380378-81-4/RN

L9 1 S L8 AND BCF/FA

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 17:17:57 ON 19 APR 2007

L10 1 S 380378-81-4/RN

FILE 'CHEMCATS' ENTERED AT 17:17:59 ON 19 APR 2007

SET NOTICE 1 DISPLAY

SET LIN 80

L11 0 S L10

SET NOTICE LOGIN DISPLAY

FILE 'STNGUIDE' ENTERED AT 17:18:10 ON 19 APR 2007

FILE 'HCAPLUS' ENTERED AT 17:31:20 ON 19 APR 2007

E RITONAVIR+ALL/CT

E RITONAVIR+ALL/CT

L12 2647 S RITONAVIR

E RITONAVIR+ALL/CT

L13 497529 S (RITONAVIR OR "CHEMICAL COMPOUNDS") OR "ORGANIC COMPOUNDS" OR

L14 4 S L7

L15 11 S L1-L10

L16 9 S L15 AND L13

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:531365 HCAPLUS

DOCUMENT NUMBER: 141:65063

TITLE: Use of a combination containing a non-nucleoside reverse transcriptase inhibitor (NNRTI) with an inhibitor of cytochrome p450 for the treatment of HIV-1 infection

INVENTOR(S): Cordingley, Michael Graham

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

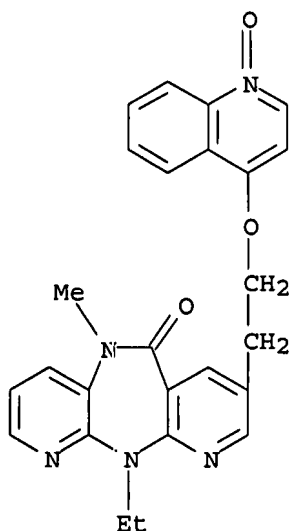
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054586	A1	20040701	WO 2003-EP14224	20031215
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
CA 2510143	A1	20040701	CA 2003-2510143	20031215
AU 2003296647	A1	20040709	AU 2003-296647	20031215
US 2004152625	A1	20040805	US 2003-736301	20031215 <--
EP 1575595	A1	20050921	EP 2003-813119	20031215
<p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK</p>				
BR 2003017095	A	20051025	BR 2003-17095	20031215
CN 1726041	A	20060125	CN 2003-80106301	20031215
JP 2006511538	T	20060406	JP 2004-560402	20031215
NC 2005003455	A	20050810	NO 2005-3455	20050715
PRIORITY APPLN. INFO.:			US 2002-433690P	P 20021216
			WO 2003-EP14224	W 20031215
AB	<p>An improved method for using a NNRTI in the treatment of HIV-1 infection comprises administering to a human in need of treatment for HIV-1 infection a therapeutically effective amount of the NNRTI, or a pharmaceutically acceptable salt thereof, and an amount of an inhibitor of cytochrome P 450 that is sufficient to elevate, enhance, or extend plasma concns. of said NNRTI.</p>			
IT	<p>380378-81-4</p> <p>RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)</p> <p>(non-nucleoside reverse transcriptase inhibitor combination with cytochrome P 450 inhibitor for treatment of HIV-1 infection)</p>			
RN	380378-81-4 HCAPLUS			
CN	<p>6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)</p>			



IT 380378-81-4D, mixts. with grapefruit juice 710282-29-4

710282-30-7 710282-31-8 710282-32-9

710282-33-0 710282-34-1 710282-35-2

710282-36-3 710282-37-4 710282-38-5

710282-39-6 710282-40-9 710282-41-0

710282-42-1 710282-43-2

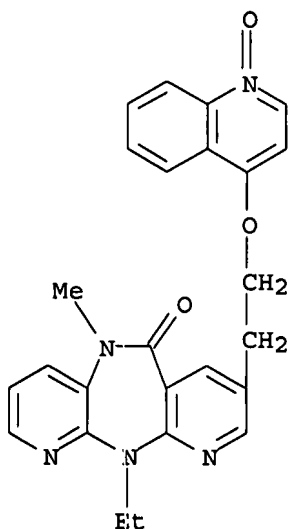
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(non-nucleoside reverse transcriptase inhibitor combination with cytochrome P 450 inhibitor for treatment of HIV-1 infection)

RN 380378-81-4 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)

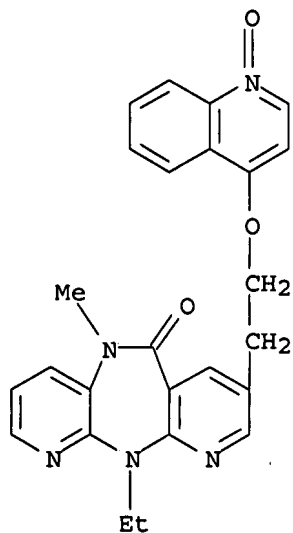


RN 710282-29-4 HCAPLUS

CN 2,5,6,10,13-Pentaazatetradecanedioic acid, 3,12-bis(1,1-dimethylethyl)-8-hydroxy-4,11-dioxo-9-(phenylmethyl)-6-[[4-(2-pyridinyl)phenyl]methyl]-, dimethyl ester, (3S,8S,9S,12S)-, mixt. with 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one (9CI) (CA INDEX NAME)

CM 1

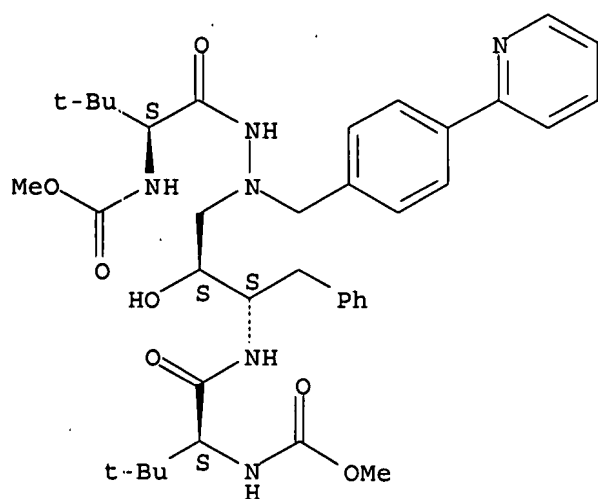
CRN 380378-81-4  
CMF C25 H23 N5 O3



CM 2

CFN 198904-31-3  
CMF C38 H52 N6 O7

Absolute stereochemistry. Rotation (-).

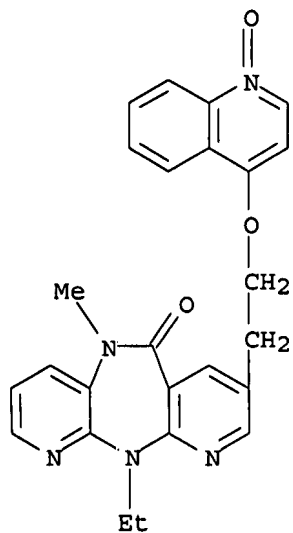


RN 710282-30-7 HCAPLUS  
CN Erythromycin, 6-O-methyl-, mixt. with 11-ethyl-5,11-dihydro-5-methyl-8-[2-  
[(1-oxido-4-quinolinyl)oxy]ethyl]-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-  
6-one (9CI) (CA INDEX NAME)

CM 1

10/736,301>19/04/2007

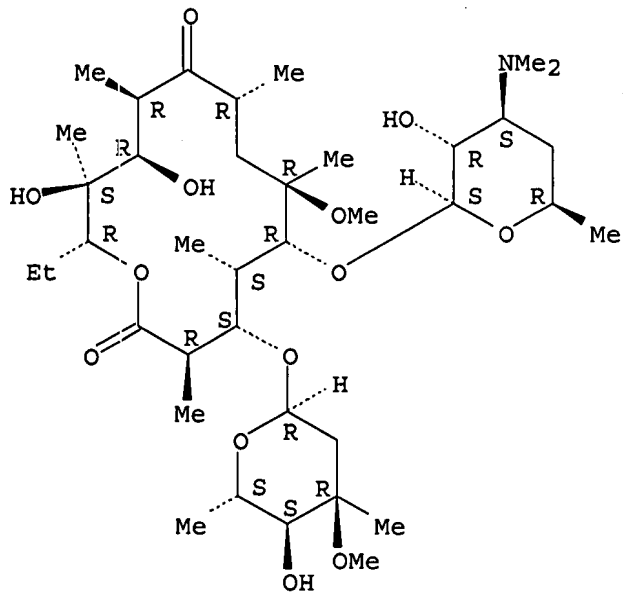
CRN 380378-81-4  
CMF C25 H23 N5 O3



CM 2

CRN 81103-11-9  
CMF C38 H69 N O13

Absolute stereochemistry.

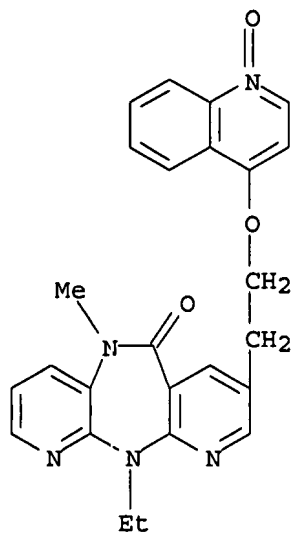


RN 710282-31-8 HCAPLUS  
CN Cyclosporin, mixt. with 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyloxy)ethyl]-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one (9CI)  
(CA INDEX NAME)

CM 1

10/736,301>19/04/2007

CRN 380378-81-4  
CMF C25 H23 N5 O3



CM 2

CRN 79217-60-0  
CMF Unspecified  
CCI MAN

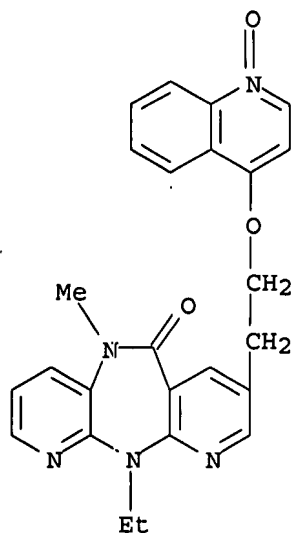
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 710282-32-9 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]-, mixt. with (2S,3S)-3-(acetyloxy)-5-[2-(dimethylamino)ethyl]-2,3-dihydro-2-(4-methoxyphenyl)-1,5-benzothiazepin-4(5H)-one (9CI) (CA INDEX NAME)

CM 1

CRN 380378-81-4  
CMF C25 H23 N5 O3

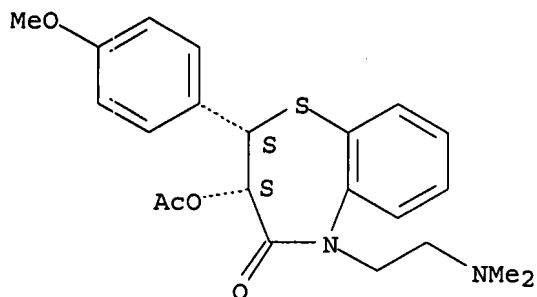


CM 2

CRN 42399-41-7

CMF C22 H26 N2 O4 S

Absolute stereochemistry. Rotation (+).



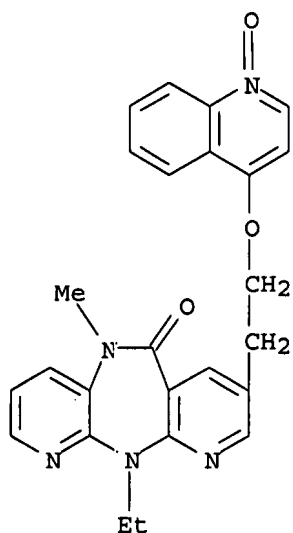
RN 710282-33-0 HCAPLUS

CN Erythromycin, mixt. with 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one (9CI)  
(CA INDEX NAME)

CM 1

CRN 380378-81-4

CMF C25 H23 N5 O3

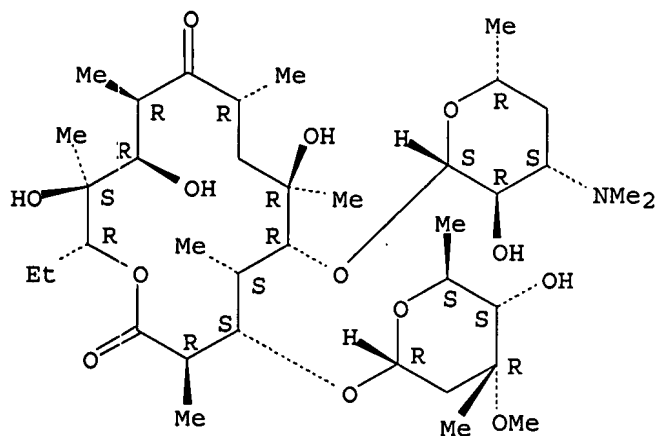


CM 2

CRN 114-07-8

CMF C37 H67 N O13

Absolute stereochemistry. Rotation (-).



RN 710282-34-1 HCAPLUS

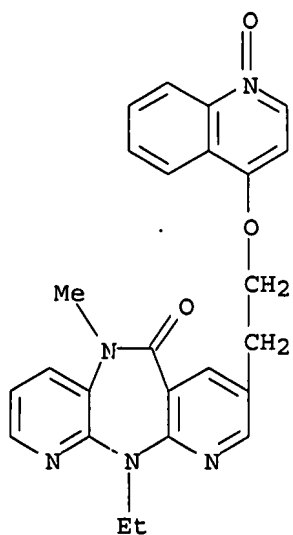
CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyloxy)ethyl]-, mixt. with 4-[4-[4-[2-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-2,4-dihydro-2-(1-methylpropyl)-3H-1,2,4-triazol-3-one (9CI) (CA INDEX NAME)

CM 1

CRN 380378-81-4

CMF C25 H23 N5 O3



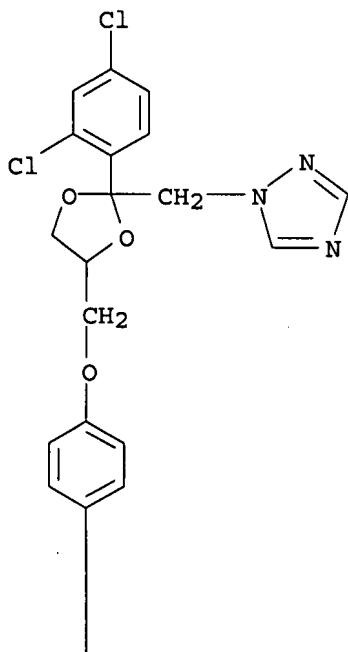


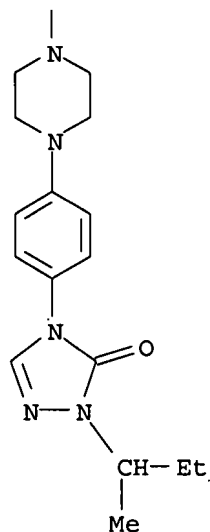
CM 2

CRN 84625-61-6

CMF C35 H38 Cl2 N8 O4

PAGE 1-A

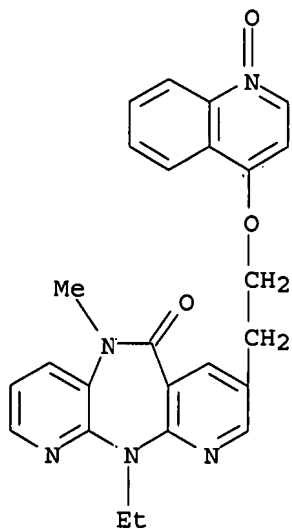




RN 710282-35-2 HCAPLUS  
 CN D-erythro-Pentonamide, 2,3,5-trideoxy-N-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-5-[(2S)-2-[[[(1,1-dimethylethyl)amino]carbonyl]-4-(3-pyridinylmethyl)-1-piperazinyl]-2-(phenylmethyl)-, mixt. with 1:1-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one (9CI) (CA INDEX NAME)

CM 1

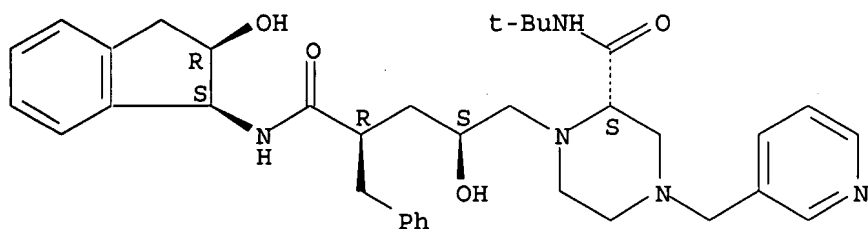
CRN 380378-81-4  
 CMF C25 H23 N5 O3



CM 2

CRN 150378-17-9  
 CMF C36 H47 N5 O4

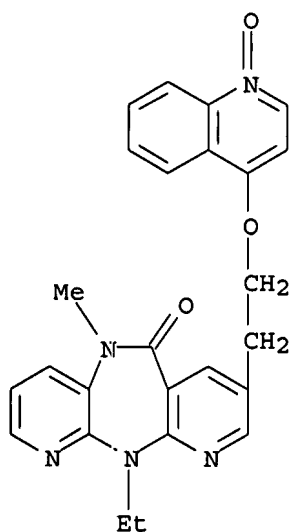
Absolute stereochemistry.



RN 710282-36-3 HCAPLUS  
 CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]-, mixt. with rel-1-acetyl-4-[4-[[[(2R,4S)-2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]piperazine (9CI) (CA INDEX NAME)

CM 1

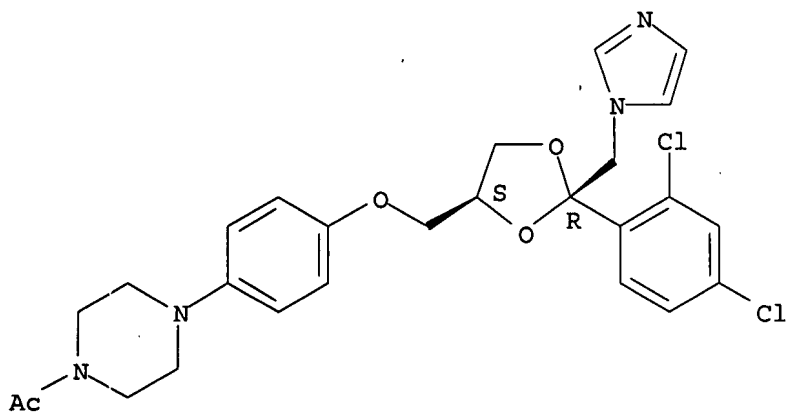
CRN 380378-81-4  
 CMF C25 H23 N5 O3



CM 2

CRN 65277-42-1  
 CMF C26 H28 Cl2 N4 O4

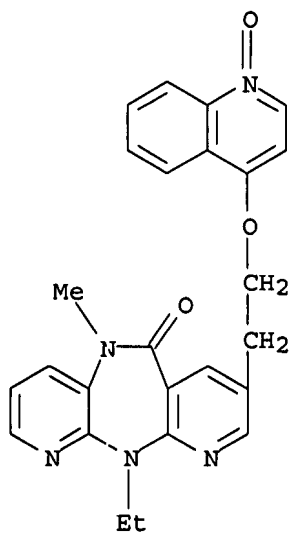
Relative stereochemistry.



RN 710282-37-4 HCAPLUS  
 CN Acetic acid, methoxy-, (1S,2S)-2-[2-[[3-(1H-benzimidazol-2-yl)propyl]methylamino]ethyl]-6-fluoro-1,2,3,4-tetrahydro-1-(1-methylethyl)-2-naphthalenyl ester, mixt. with 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one (9CI) (CA INDEX NAME)

CM 1

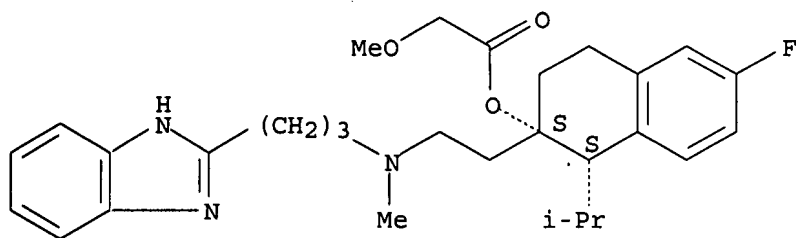
CRN 380378-81-4  
 CMF C25 H23 N5 O3



CM 2

CRN 116644-53-2  
 CMF C29 H38 F N3 O3

Absolute stereochemistry.



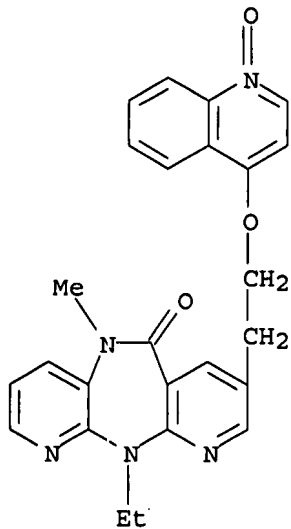
RN 710282-38-5 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]-, mixt. with 2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-5-ethyl-2,4-dihydro-4-(2-phenoxyethyl)-3H-1,2,4-triazol-3-one (9CI) (CA INDEX NAME)

CM 1

CRN 380378-81-4

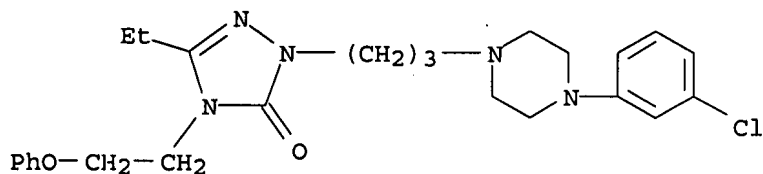
CMF C25 H23 N5 O3



CM 2

CRN 83366-66-9

CMF C25 H32 Cl N5 O2



RN 710282-39-6 HCAPLUS

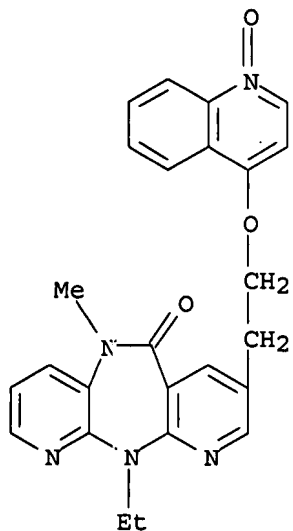
CN 3-Isoquinolinecarboxamide, N-(1,1-dimethylethyl)decahydro-2-[(2R,3R)-2-hydroxy-3-[(3-hydroxy-2-methylbenzoyl)amino]-4-(phenylthio)butyl]-, (3S,4aS,8aS)-, mixt. with 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one (9CI)

(CA INDEX NAME)

CM 1

CRN 380378-81-4

CMF C25 H23 N5 O3

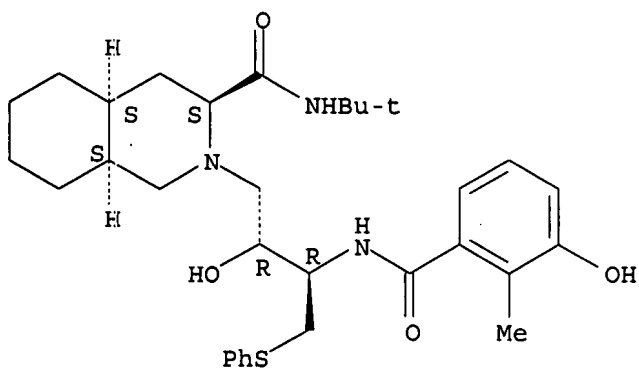


CM 2

CRN 159989-64-7

CMF C32 H45 N3 O4 S

Absolute stereochemistry.



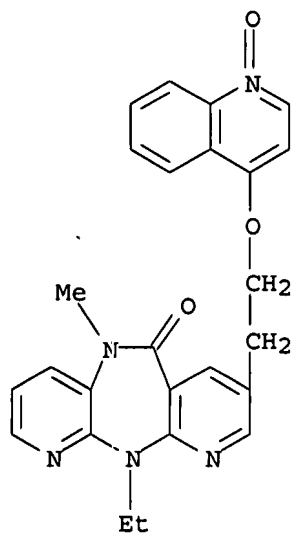
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CN 2,4,7,12-Tetraazatridecan-13-oic acid, 10-hydroxy-2-methyl-5-(1-methylethyl)-1-[2-(1-methylethyl)-4-thiazolyl]-3,6-dioxo-8,11-bis(phenylmethyl)-, 5-thiazolylmethyl ester, (5S,8S,10S,11S)-, mixt. with 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyloxy]ethyl]-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one (9CI) (CA INDEX NAME)

CM 1

CRN 380378-81-4

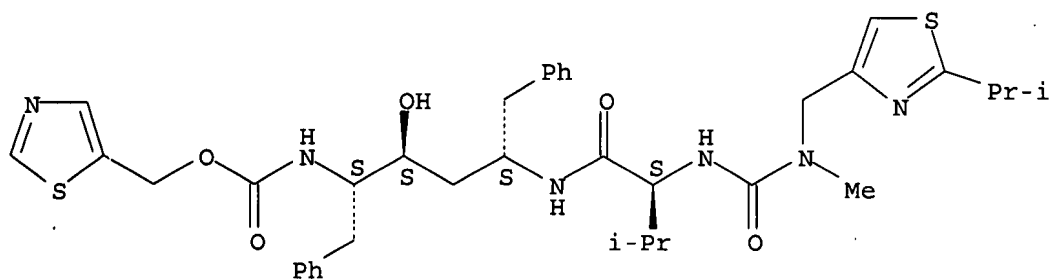
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CM 2

CRN 155213-67-5  
CMF C37 H48 N6 O5 S2

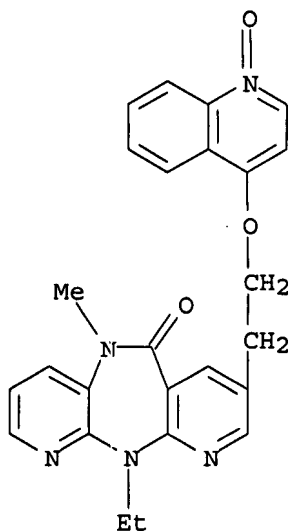
Absolute stereochemistry.



RN 710282-41-0 HCAPLUS  
CN Vitamin E, mixt. with 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one (9CI)  
(CA INDEX NAME)

CM 1

CRN 380378-81-4  
CMF C25 H23 N5 O3



CM 2

CRN 1406-18-4  
CMF Unspecified  
CCI MAN

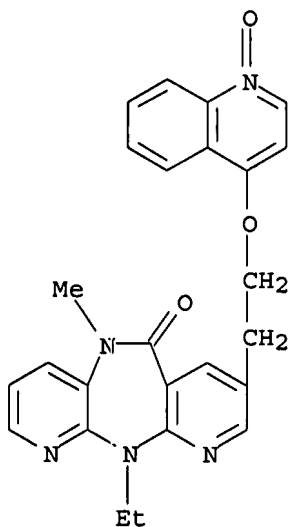
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 710282-42-1 HCAPLUS

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CM 1

CRN 380378-81-4  
CMF C25 H23 N5 O3





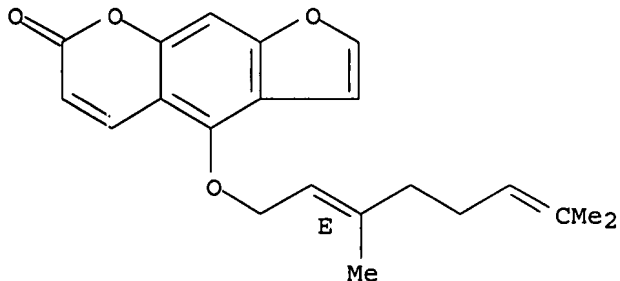
10/736,301>19/04/2007

CM 2

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CMF C21 H22 O4

Double bond geometry as shown.



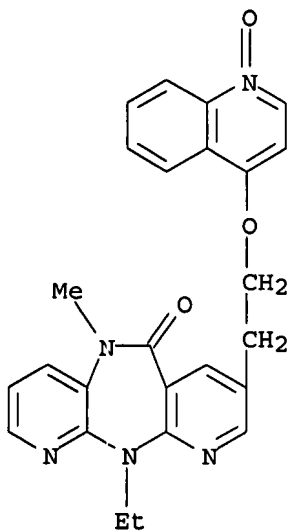
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CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]-, mixt. with 4-[[ (2E)-6,7-dihydroxy-3,7-dimethyl-2-octenyl]oxy]-7H-furo[3,2-g][1]benzopyran-7-one (9CI) (CA INDEX NAME)

CM 1

CRN 380378-81-4

CMF C25 H23 N5 O3

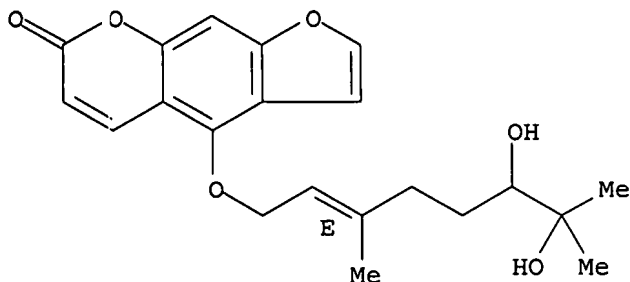


CM 2

CRN 145414-76-2

CMF C21 H24 O6

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:451667 HCAPLUS

DOCUMENT NUMBER: 141:23559

TITLE: Preparation of 5,11-dihydro-8-(2-hydroxyethyl)-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one derivatives as non-nucleoside reverse transcriptase inhibitors

INVENTOR(S): Yoakim, Christiane; Malenfant, Eric; Thavonekham, Bounkham; Ogilvie, William; Deziel, Robert

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

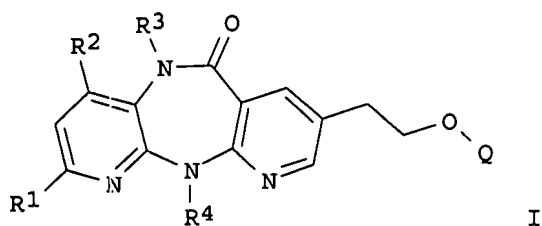
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

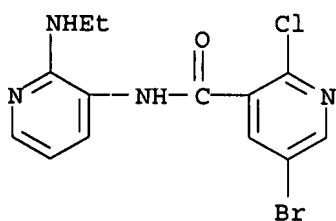
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004106791	A1	20040603	US 2003-662856	20030915
US 7105510	B2	20060912		
CA 2495721	A1	20041007	CA 2003-2495721	20030915
WO 2004085437	A1	20041007	WO 2003-CA1409	20030915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2003303944	A1	20041018	AU 2003-303944	20030915
EP 1554276	A1	20050720	EP 2003-816107	20030915
EP 1554276	B1	20060628		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515312	T	20060525	JP 2004-569819	20030915
AT 331716	T	20060715	AT 2003-816107	20030915
PRIORITY APPLN. INFO.:				
			US 2002-411785P	P 20020919
			WO 2003-CA1409	W 20030915

OTHER SOURCE(S): MARPAT 141:23559

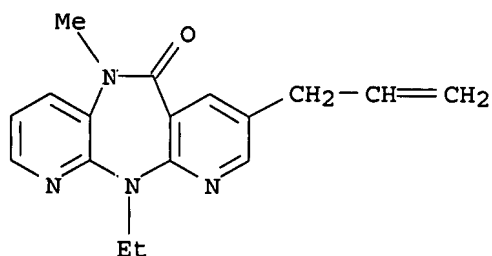
GI



- AB The title compds. represented by formula (I) [wherein R1 = H, halogen, C1-4 alkyl, C1-4 alkoxy, haloalkyl; R2, R3 = H, C1-4 alkyl; R4 = C1-4 alkyl, C1-4 alkyl-C3-7 cycloalkyl, C3-7 cycloalkyl; Q = a fused phenyl-5 or 6-membered saturated heterocycle having one to two heteroatoms selected from O and N, said Q being optionally substituted with hydroxy, or C1-4 alkyl which in turn maybe optionally substituted with pyridinyl-N-oxide or CO2R (wherein R = H, C1-4 alkyl)] or salts thereof are prepared These compds. have inhibitory activity against wild type HIV and single and double mutants strains of HIV. Thus, Mitsunobu reaction of 2,3-Dihydro-1H-isoindole with 5,11-Dihydro-11-ethyl-8-(2-hydroxyethyl)-5-methyl-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one using DEAD and Ph3P in THF at room temperature for 16 h gave I (R1 = R2 = H, R3 = Me, R4 = Et, Q = 2,3-dihydro-1-oxo-1H-isoindol-4-yl) which showed IC50 of <10  $\mu$ M against RNA-dependent DNA polymerase of HIV-1 RT.
- IT 380378-90-5P, 2-Chloro-N-[2-(ethylamino)-3-pyridinyl]-5-bromo-3-pyridinecarboxamide 380378-91-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of 5,11-dihydro-8-(2-hydroxyethyl)-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one derivs. as non-nucleoside reverse transcriptase inhibitors and HIV inhibitors)
- RN 380378-90-5 HCAPLUS
- CN 3-Pyridinecarboxamide, 5-bromo-2-chloro-N-[2-(ethylamino)-3-pyridinyl]-(9CI) (CA INDEX NAME)



- RN 380378-91-6 HCAPLUS
- CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-methyl-8-(2-propenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:267338 HCAPLUS

DOCUMENT NUMBER: 140:303707

TITLE: Preparation of 9H-imidazo[1,2-d]dipyrido[2,3-b:3',2'-f][1,4]diazepine derivatives as tetracyclic non-nucleoside reverse transcriptase inhibitors useful against wild type and double-mutation K103N/Y181C enzymes

INVENTOR(S): Yoakim, Christiane; O'Meara, Jeffrey; Simoneau, Bruno; Ogilvie, William W.; Deziel, Robert

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026875	A1	20040401	WO 2003-CA1410	20030915
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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AU 2003269628	A1	20040408	AU 2003-269628	20030915
US 2004132723	A1	20040708	US 2003-662606	20030915
EP 1543006	A1	20050622	EP 2003-750192	20030915
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006505532	T	20060216	JP 2004-536726	20030915
PRIORITY APPLN. INFO.:			US 2002-411745P	P 20020919
			WO 2003-CA1410	W 20030915
OTHER SOURCE(S):	MARPAT 140:303707			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I are disclosed [wherein: R1 = H, halogen, (C1-4)alkyl, O(C1-4)alkyl, and haloalkyl; R2 = H or Me; R3 = H or (C1-4)alkyl; R4 = H or (C1-4)alkyl; R5 = (C1-4)alkyl, (C1-4)alkyl(C3-7)cycloalkyl, or (C3-7)cycloalkyl; W = benzo-fused 5- or 6-membered heterocycle having one or two N and/or S atoms; W = Ph, 1,1'-biphenyl, 2,3-dihydro-1H-indene, 1,2,3,4-tetrahydronaphthyl, or naphthyl; W being optionally substituted with (C1-4)alkyl, which in turn can be optionally substituted with a carboxy or (C1-4)alkoxycarbonyl; or a salt or ester thereof]. The compds. have inhibitory activity against wild type (WT), single-mutant, and double-mutant strains of HIV, and are particularly potent against WT and double-mutant K103N/Y181C strains of HIV-1 reverse transcriptase (RT). Over 20 compds. I were prepared and tested. For instance, the thione

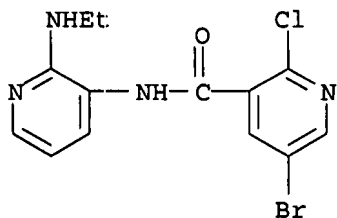
intermediate II was prepared in 8 steps from 2-chloro-3-nitropyridine and 5-bromo-2-chloro-3-pyridinecarbonyl chloride. Cyclocondensation of the thioamide function of II with aminoacetaldehyde di-Me acetal to form an imidazole fusion, followed by deprotection, etherification with a carboxy-protected hydroxybiphenylacetic acid derivative, and deprotection, gave title compound III. In assays for inhibition of RT, III had IC50 values of <50 nM for both WT and K103N/Y181C strains of RT. In a cell-based assay against WT HIV-1, III had an EC50 of <10 nM.

IT 380378-90-5P, 2-Chloro-N-[2-(ethylamino)-3-pyridinyl]-5-bromo-3-pyridinecarboxamide  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of imidazodipyridodiazepine derivs. as non-nucleoside reverse transcriptase inhibitors useful against wild type and double-mutation K103N/Y181C enzymes)

RN 380378-90-5 HCAPLUS

CN 3-Pyridinecarboxamide, 5-bromo-2-chloro-N-[2-(ethylamino)-3-pyridinyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:51812 HCAPLUS

DOCUMENT NUMBER: 140:287364

TITLE: Novel nevirapine-like inhibitors with improved activity against NNRTI-resistant HIV:

8-heteroarylthiomethyldipyridodiazepinone derivatives  
 AUTHOR(S): Yoakim, C.; Bonneau, P. R.; Deziel, R.; Doyon, L.; Duan, J.; Guse, I.; Landry, S.; Malenfant, E.; Naud, J.; Ogilvie, W. W.; O'Meara, J. A.; Plante, R.; Simoneau, B.; Thavonekham, B.; Bos, M.; Cordingley, M. G.

CORPORATE SOURCE: Department of Chemistry, Research & Development, Boehringer Ingelheim (Canada) Ltd, Lava, QC, 2100, Can.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(3), 739-742

CODEN: BMCLE8; ISSN: 0960-894X

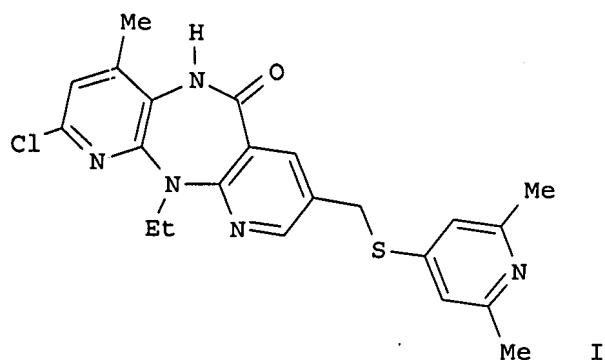
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:287364

GI



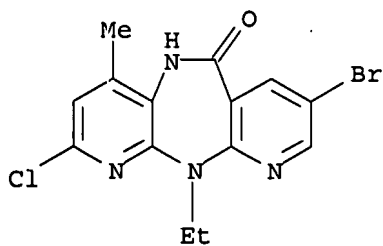
AB A series of 8-heteroarylthiomethyldipyridodiazepinone derivs. were prepared and evaluated for their antiviral profile against wild type virus and the important K103N/Y181C mutant as an indicator for broad activity. 2,6-Dimethylpyridine derivative I was found to have a good pharmacokinetic profile in spite of poor metabolic stability in rat liver microsomes.

IT 380379-06-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 8-heteroarylthiomethyldipyridodiazepinone derivs. with improved activity against NNRTI-resistant HIV)

RN 380379-06-6 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 8-bromo-2-chloro-11-ethyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:777795 HCAPLUS

DOCUMENT NUMBER: 139:292278

TITLE: Dipyridodiazepinones as reverse transcriptase inhibitors

INVENTOR(S): O'Meara, Jeffrey; Simoneau, Bruno; Yoakim, Christiane; Deziel, Robert; Ogilvie, William W.

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

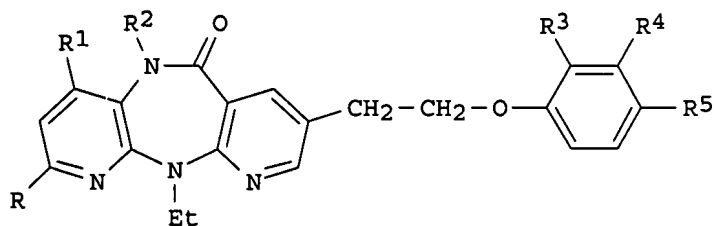
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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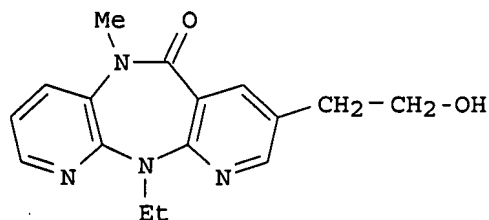
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 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
 PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,  
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003195197	A1	20031016	US 2003-379448	20030304
US 6706706	B2	20040316		
CA 2479216	A1	20031002	CA 2003-2479216	20030324
AU 2003215466	A1	20031008	AU 2003-215466	20030324
BR 2003008704	A	20050104	BR 2003-8704	20030324
EP 1495024	A1	20050112	EP 2003-744749	20030324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1642956	A	20050720	CN 2003-806463	20030324
JP 2005521699	T	20050721	JP 2003-578366	20030324
IN 2004DN02433	A	20070302	IN 2004-DN2433	20040820
NO 2004004043	A	20040929	NO 2004-4043	20040924
PRIORITY APPLN. INFO.:			US 2002-367971P	P 20020327
			WO 2003-CA418	W 20030324

OTHER SOURCE(S): MARPAT 139:292278  
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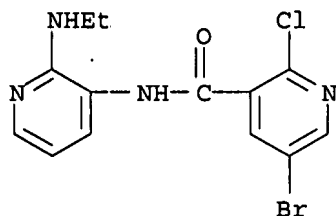
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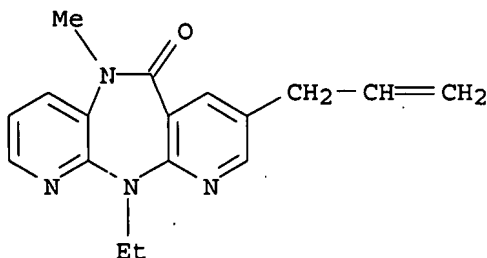
II

AB This invention provides the compds. I (R = H, halogen, (C1-4)alkyl, O(C1-4)alkyl, NH(C1-4alkyl) or N(C1-4alkyl)2; R1 = H or Me; R2 = H or Me; R3 = H, halogen, (C1-4)alkyl, CF3, or NO2; R4 = H, (C1-4)alkyl, halogen, OH, or NH2, with the proviso that R3 and R4 are not both H; and R5 = COOR5a wherein R5a = H or (C1-6)alkyl; or R5 is (C2-4)alkenylCOOR5a, (C1-4)alkylCOOR5a) or a salt or a prodrug, useful as inhibitors of HIV reverse transcriptase. For example, I (R = R1 = R4 = nul; R2 = Me, R3 = Et, R5 = CO2H) was prepared in a multistep process, starting from 2-chloro-3-nitropyridine and ethylamine to give 2-ethylamino-3-nitropyridine which was reduced and subsequently reacted with 5-bromo-2-chloro-3-pyridinecarbonyl chloride; the product was cyclized, reacted with allyltributylstannane, and then oxidized to II; II was reacted with Me 3-ethyl-4-hydroxybenzoate and saponified to give I (R = R1 = R4 = nul; R2 = Me, R3 = Et, R5 = CO2H) in 98 % yield.

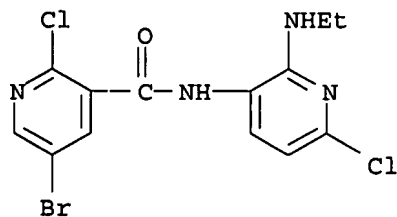
IT 380378-90-5P 380378-91-6P 380378-93-8P  
 380378-94-9P 380378-98-3P, 2-Ethylamino-6-fluoro-3-nitropyridine 380378-99-4P, 3-Amino-2-ethylamino-6-fluoropyridine 380379-00-0P 380379-01-1P  
 380379-02-2P 380379-03-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of dipyridodiazepinones as reverse transcriptase inhibitors)  
 RN 380378-90-5 HCAPLUS  
 CN 3-Pyridinecarboxamide, 5-bromo-2-chloro-N-[2-(ethylamino)-3-pyridinyl]-(9CI) (CA INDEX NAME)



RN 380378-91-6 HCAPLUS  
 CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-methyl-8-(2-propenyl)-(9CI) (CA INDEX NAME)

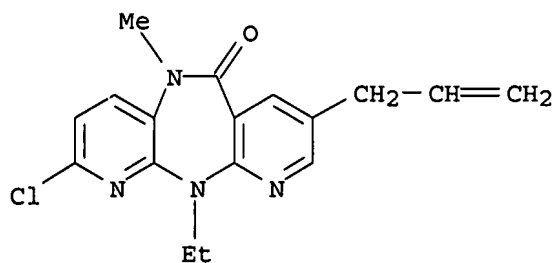


RN 380378-93-8 HCAPLUS  
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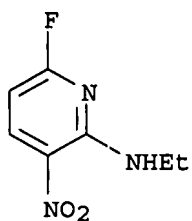


RN 380378-94-9 HCAPLUS  
 CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 2-chloro-11-ethyl-5,11-dihydro-5-methyl-8-(2-propenyl)-(9CI) (CA INDEX NAME)

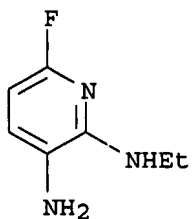




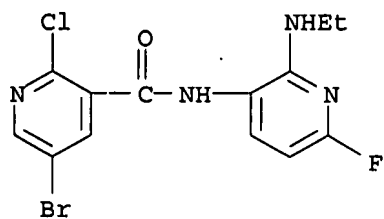
RN 380378-98-3 HCAPLUS  
CN 2-Pyridinamine, N-ethyl-6-fluoro-3-nitro- (9CI) (CA INDEX NAME)



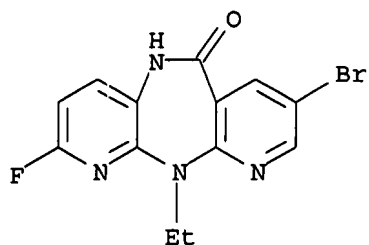
RN 380378-99-4 HCAPLUS  
CN 2,3-Pyridinediamine, N2-ethyl-6-fluoro- (9CI) (CA INDEX NAME)



RN 380379-00-0 HCAPLUS  
CN 3-Pyridinecarboxamide, 5-bromo-2-chloro-N-[2-(ethylamino)-6-fluoro-3-pyridinyl]- (9CI) (CA INDEX NAME)

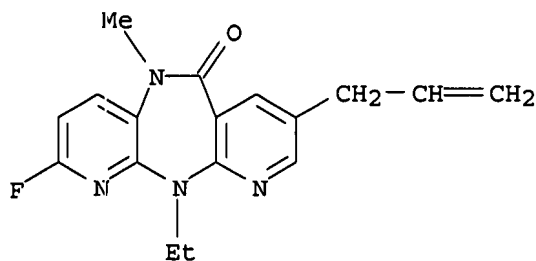


RN 380379-01-1 HCAPLUS  
CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 8-bromo-11-ethyl-2-fluoro-5,11-dihydro- (9CI) (CA INDEX NAME)



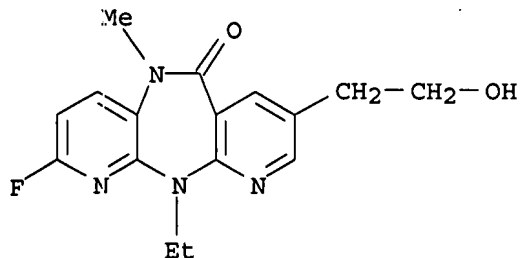
RN 380379-02-2 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-2-fluoro-5,11-dihydro-5-methyl-8-(2-propenyl)- (9CI) (CA INDEX NAME)



RN 380379-03-3 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-2-fluoro-5,11-dihydro-8-(2-hydroxyethyl)-5-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:117825 HCAPLUS

DOCUMENT NUMBER: 138:170259

TITLE: Preparation of dipyridodiazepinones as reverse transcriptase inhibitors

INVENTOR(S): Ogilvie, William W.; Deziel, Robert; O'Meara, Jeffrey; Simoneau, Bruno

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

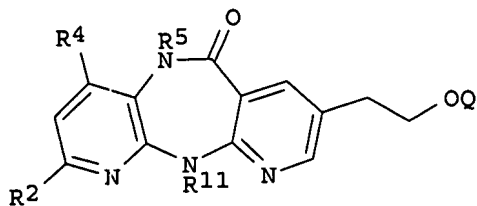
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
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US 2003171363 A1 20030911 US 2002-205094 20020725  
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WO 2002-CA1161 W 20020726  
OTHER SOURCE(S): MARPAT 138:170259  
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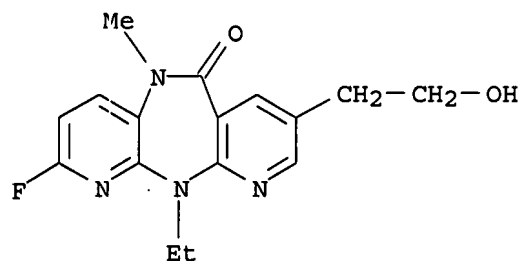


AB Title compds. [I; R2 = H, halo, NHNH2, alkyl, alkoxy, haloalkyl; R4 = H, Me; R5 = H, alkyl; R11 = alkyl, alkylcycloalkyl, cycloalkyl; Q = (substituted) naphthyl, fused phenylcycloalkyl, fused phenylheterocyclyl having 1-2 O, N, S], were prepared Thus, diisopropyl azodicarboxylate in THF was added dropwise to a mixture of 5,11-dihydro-11-ethyl-2-fluoro-5-methyl-8-(2-hydroxyethyl)-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, Ph3P, and 4-formyl-1-naphthol followed by stirring for 1 h to give 56% formylnaphthyl ether derivative, which was stirred with AgNO3 and NaOH in EtOH/THF to give 62% title compound I (Q = 4-carboxynaphthyl-1-yl; R2 = F; R4 = H; R5 = Me; R11 = Et) (II). II showed IC50<100 nM against wild type HIV-1 reverse transcriptase.

IT 380379-03-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of dipyridodiazepinones as reverse transcriptase inhibitors)

RN 380379-03-3 HCAPLUS

CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-2-fluoro-5,11-dihydro-8-(2-hydroxyethyl)-5-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:923799 HCAPLUS

DOCUMENT NUMBER: 136:37632

TITLE: Preparation of non-nucleoside reverse transcriptase inhibitors

INVENTOR(S): Simoneau, Bruno

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096338	A1	20011220	WO 2001-CA890	20010614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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US 2002028807	A1	20020307	US 2001-879447	20010612 <--
US 6420359	B2	20020716		
CA 2411766	A1	20011220	CA 2001-2411766	20010614
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EP 1294720	A1	20030326	EP 2001-949124	20010614
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ZA 2002009807	A	20031016	ZA 2002-9807	20021203
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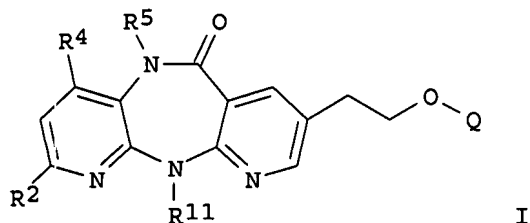
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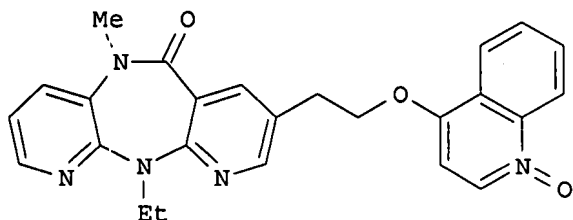
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WO 2001-CA890

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P 20001218  
A3 20010614  
W 20010614

OTHER SOURCE(S): MARPAT 136:37632  
GI



I



II

AB Compds. of formula I [R2 = H, F, Cl, (C1-4) alkyl, (C3-4) cycloalkyl, CF3; R4 = H, Me; R5 = H, Me, Et; R4 and R5 are not both Me, and if R4 is Me then R5 cannot be Et; R11 = Et, cyclopropyl, Pr, iso-Pr, isobutyl; Q = 4- or 5-quinolinyl or their 1-oxides] are prepared as inhibitors of HIV reverse transcriptase, wild-type and several mutant strains. Thus, II was prepared in several steps from 2-chloro-3-nitropyridine, ethylamine, 5-bromo-2-chloro-3-pyridinecarbonyl chloride and 4-hydroxyquinoline. II was shown to inhibit wild-type and mutant strains of reverse transcriptase in assays.

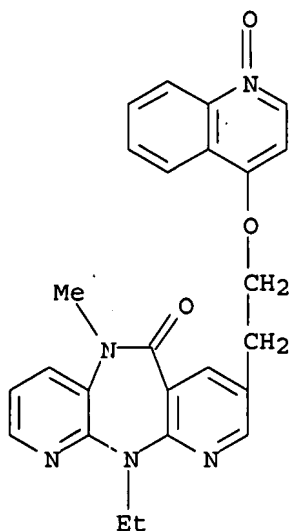
IT 380378-81-4P 380378-97-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dipyridodiazepinone derivs. as reverse transcriptase inhibitors)

RN 380378-81-4 HCAPLUS

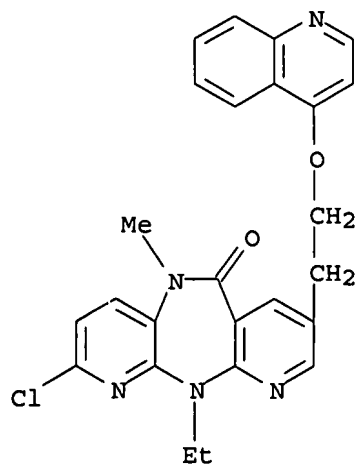
CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-methyl-8-[2-[(1-oxido-4-quinolinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 380378-97-2 HCAPLUS  
 CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 2-chloro-11-ethyl-5,11-dihydro-5-methyl-8-[2-(4-quinolinyloxy)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

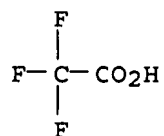
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CM 2

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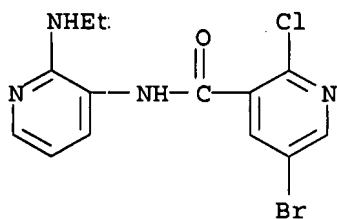


IT 380378-90-5P 380378-91-6P 380378-92-7P  
 380378-93-8P 380378-94-9P 380378-95-0P  
 380378-96-1P 380378-98-3P 380378-99-4P  
 380379-00-0P 380379-01-1P 380379-02-2P  
 380379-03-3P 380379-04-4P 380379-05-5P  
 380379-06-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of dipyridodiazepinone derivs. as reverse transcriptase  
 inhibitors)

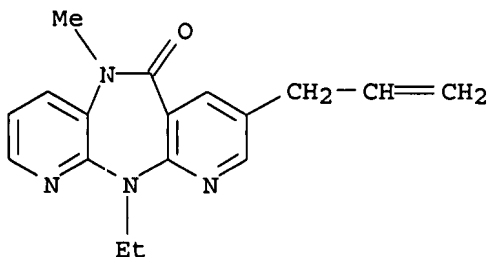
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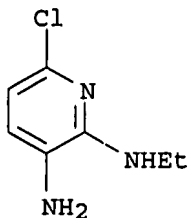
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CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-5,11-dihydro-5-  
 methyl-8-(2-propenyl)- (9CI) (CA INDEX NAME)



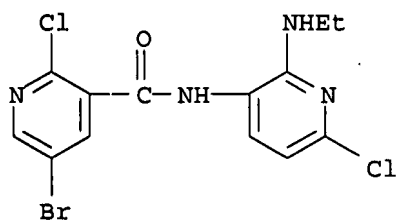
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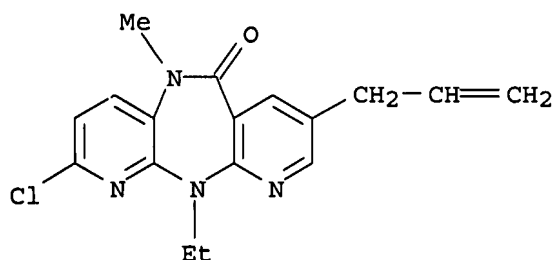
RN 380378-93-8 HCAPLUS

CN 3-Pyridinecarboxamide, 5-bromo-2-chloro-N-[6-chloro-2-(ethylamino)-3-  
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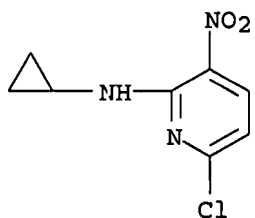
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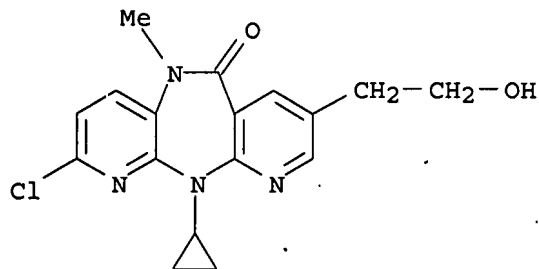
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RN 380378-96-1 HCAPLUS

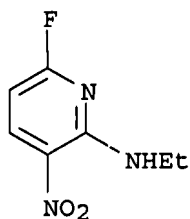
CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 2-chloro-11-cyclopropyl-5,11-dihydro-8-(2-hydroxyethyl)-5-methyl- (9CI) (CA INDEX NAME)



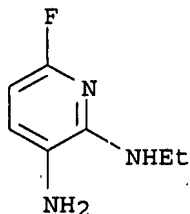
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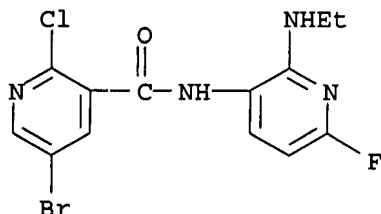




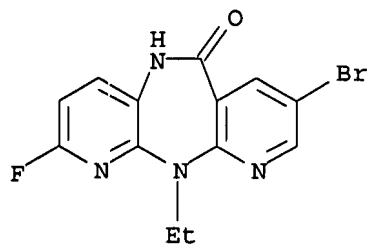
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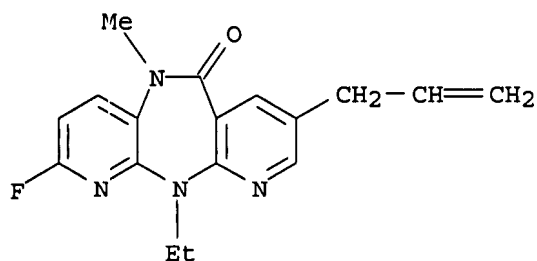
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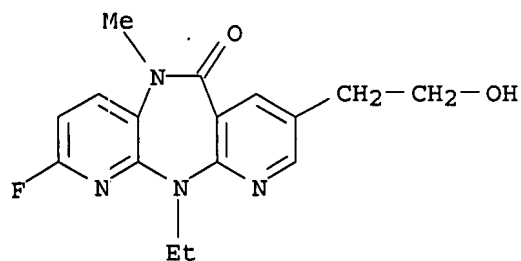
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CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 8-bromo-11-ethyl-2-fluoro-5,11-dihydro- (9CI) (CA INDEX NAME)



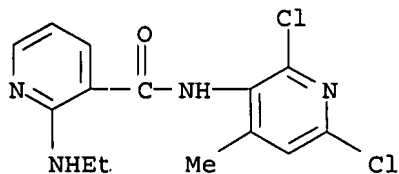
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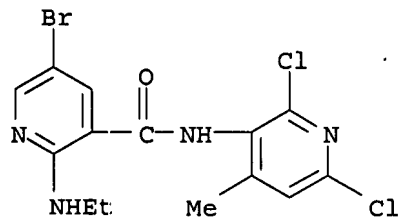
RN 380379-03-3 HCAPLUS  
CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 11-ethyl-2-fluoro-5,11-dihydro-8-(2-hydroxyethyl)-5-methyl- (9CI) (CA INDEX NAME)



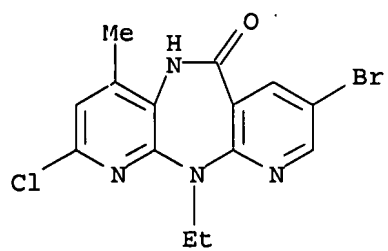
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RN 380379-05-5 HCAPLUS  
CN 3-Pyridinecarboxamide, 5-bromo-N-(2,6-dichloro-4-methyl-3-pyridinyl)-2-(ethylamino)- (9CI) (CA INDEX NAME)



RN 380379-06-6 HCAPLUS  
CN 6H-Dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one, 8-bromo-2-chloro-11-ethyl-5,11-dihydro-4-methyl- (9CI) (CA INDEX NAME)



## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
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L2	24	"5635523"	US-PGPUB; USPAT	NEAR	ON	2007/04/19 18:14
S1	1	((MICHAEL) near2 (CORDINGLEY)). INV.	US-PGPUB; USPAT	NEAR	ON	2007/04/19 18:12